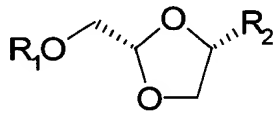
CLAIMS:

1. A method of treating a patient having a cancer comprising administering to said patient a compound having the following formula:



(I)

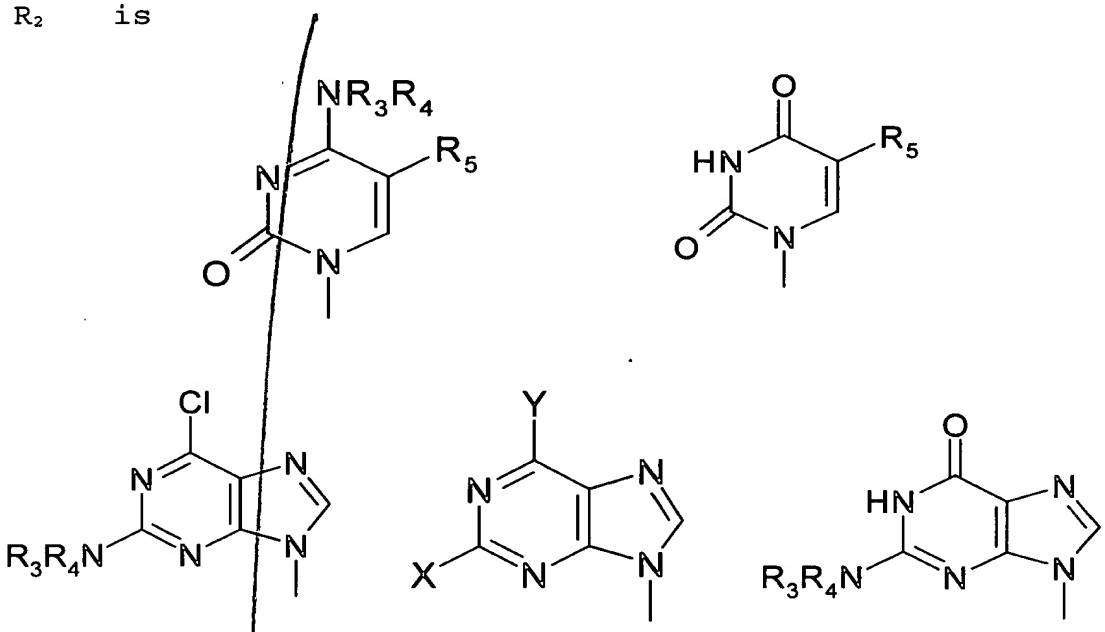
wherein:

R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-20} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or a dipeptide or tripeptide chain or mimetic thereof, wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-18} arylmethyl, C_{2-18} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl; saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

R_2 is



R_3 and R_4 are in each case independently H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-18} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or a dipeptide or tripeptide chain or mimetic thereof wherein the amino acids radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

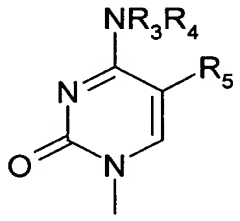
R_6 is, in each case, H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{0-20} alkyl-C_{6-24} aryl, C_{0-20} alkyl-C_{5-20} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S; and

R_7 is, in each case, C_{1-20} alkyl, C_{2-20} alkenyl, C_{6-10} aryl, C_{5-20} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

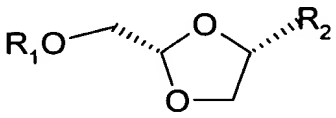
X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or a pharmaceutically acceptable salt thereof.

2. A method according to claim 1, wherein at that least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$, $-C(O)OR_6$ or $-C(O)NHR_6$, then R_6 is other than H.

3. A method according to claim 1, wherein R_2 is of the formula:



4. A method of treating a patient with cancer, wherein the cancer cells are deficient in nucleoside or nucleobase transporter proteins, comprising administering to said patient a compound according to the following formula:



(I)

wherein:

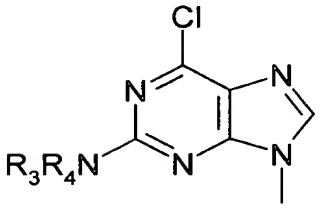
R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-20} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or a dipeptide or tripeptide chain or mimetic

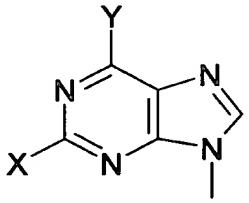
thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

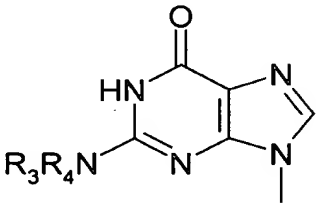
R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-18} arylmethyl, C_{2-18} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, or C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate or triphosphate or mimetics thereof;

R_2 is







R_3 and R_4 are in each case independently H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-18} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or a dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn

and Gln, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{0-20} alkyl-C_{6-24} aryl, C_{0-20} alkyl-C_{5-18} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

R_7 is, in each case, C_{1-20} alkyl, C_{2-20} alkenyl, C_{6-10} aryl, C_{5-10} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or

a pharmaceutically acceptable salt thereof.

5. A method according to claim 4, wherein at least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$, $-C(O)OR_6$, or $-C(O)NHR_6$ then R_6 is other than H.

6. A method according to claim 4, wherein said cancer cells are deficient in one or more nucleoside or nucleobase transporter proteins that provide sodium-independent, bidirectional equilibrative transport.

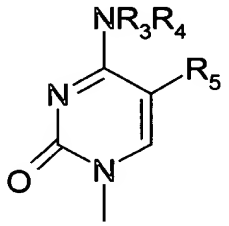
7. A method according to claim 4, wherein said cancer cells are deficient in nucleoside or nucleobase transporter proteins that provide sodium-dependent, inwardly directed concentrative processes.

8. A method according to claim 7, wherein said cancer cells are deficient in nucleoside or nucleobase transporter proteins that provide sodium-dependent, inwardly directed concentrative processes.

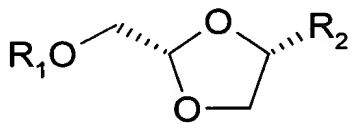
9. A method according to claim 4, wherein said cancer cells are deficient in es transporter proteins, ei transporter proteins or both.

10. A method according to claim 4, wherein said cancer cells are deficient in cit transporter proteins, cib transporter proteins, cif transporter proteins, csg transporter proteins, cs transporter proteins, or combinations thereof.

11. A method according to claim 4, wherein R_2 is of the formula:



12. A method of treating patients with cancer comprising administering to said patient a compound of the following formula:



(I)

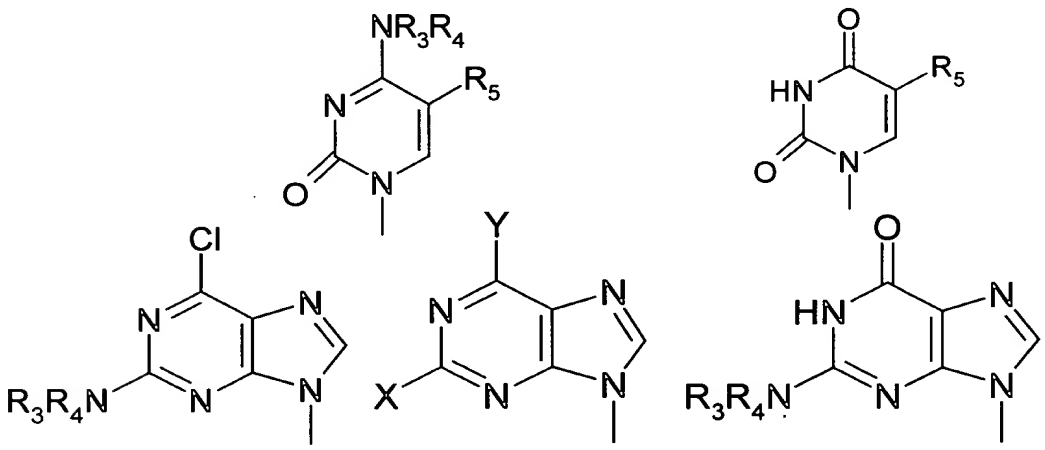
wherein:

R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-20} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or a dipeptide or tripeptide chain or mimetic thereof wherein the amino acids radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gly, and which in each case is optionally terminated by $-R_7$;

R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-18} arylmethyl, C_{2-18} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triophosphate or mimetics thereof;

R_2 is



R_3 and R_4 are in each case independently H; C_{1-20} alkyl; C_{2-20} alkenyl; C_{6-10} aryl; C_{5-10} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acids radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile,

Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and at least one amino acid is not Gly, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{0-20} alkyl-C_{6-10} aryl, C_{0-20} alkyl-C_{5-10} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

R_7 is, in each case, C_{1-20} alkyl, C_{2-20} alkenyl, C_{6-10} aryl, C_{5-10} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

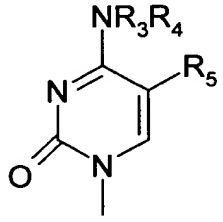
X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4;

with the proviso that least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$, $-C(O)OR_6$, or $-C(O)NHR_6$ then R_6 is other than H; or

a pharmaceutically acceptable salt thereof;

wherein said compound is administered at least daily for a period of 2 to 10 days.

13. A method according to claim 12, wherein R_2 is of the formula:



14. A method of treating a patient with cancer wherein the cancer is resistant to cytarabine, said method

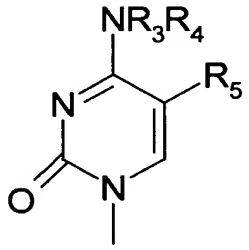
comprising administering to said patient a compound according to the following formula:

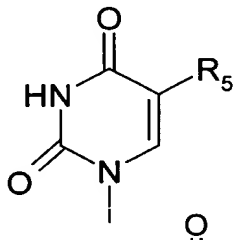
R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-20} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NRH_6$; or an amino acid radical or a dipeptide or tripeptide chain or mimetic thereof wherein the amino acids radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

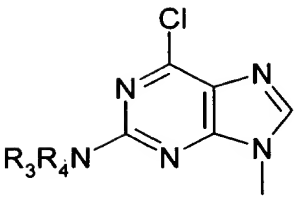
R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-18} arylmethyl, C_{2-18} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

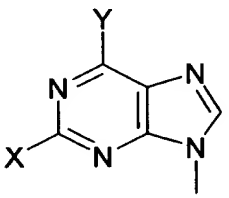
R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

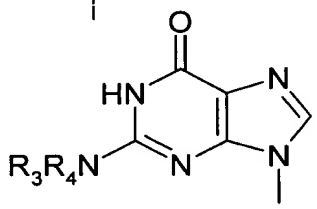
R_2 is











R_3 and R_4 are in each case independently H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-18}

heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or a dipeptide or a tripeptide chain or mimetic thereof wherein the amino acids are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

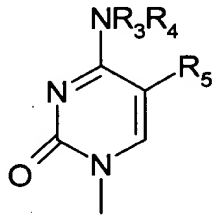
R_6 is, in each case, H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{0-20} alkyl-C_{6-24} aryl, C_{0-20} alkyl-C_{5-24} heteroaromatic ring, C_{3-24} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

R_7 is, in each case, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{5-24} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or a pharmaceutically acceptable salt thereof.

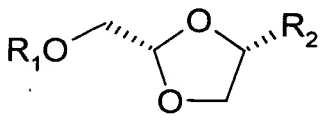
15. A method according to claim 14, wherein at least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$; $-C(O)OR_6$, or $-C(O)NHR_6$ then R_6 is other than H.

16. A method according to claim 14, wherein R_2 is of the formula:



17. A method of treating a patient with cancer comprising:

determining that a compound enters cancer cells predominately by passive diffusion; and administering said compound to said patient; wherein said compound is a compound according to the formula:



(I)

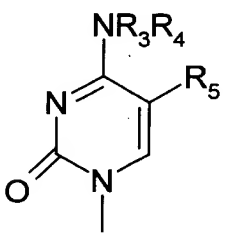
wherein:

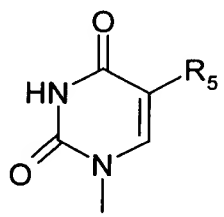
R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-24} heteroaromatic ring; C_{3-24} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

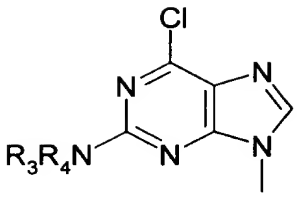
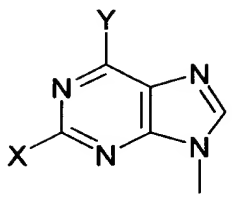
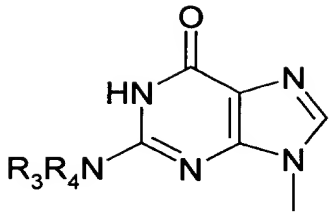
R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-24} arylmethyl, C_{2-18} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

R_2 is





R_3 and R_4 are in each case independently H; C_{2-24} alkyl; C_{1-24} alkenyl; C_{6-24} aryl; C_{5-24} heteroaromatic ring; C_{3-24} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{0-20} alkyl-C_{6-24} aryl, C_{0-20} alkyl-C_{5-24} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

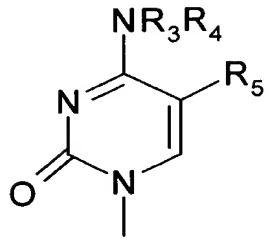
R_7 is, in each case, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{5-24} heteroaromatic ring, C_{3-20} nonaromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or

a pharmaceutically acceptable salt thereof.

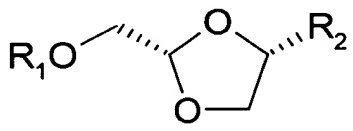
18. A method according to claim 17, wherein at least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$ or $-C(O)OR_6$, then R_6 is other than H.

19. A method according to claim 17, wherein R_2 is of the formula:



20. A method of treating a patient with cancer comprising:

administering to said patient a compound which has been determined to enter the cancer cells predominately by passive diffusion, wherein said compound is a compound according to the formula:



(I)

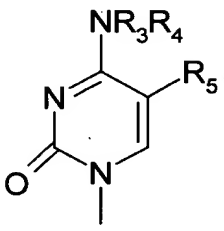
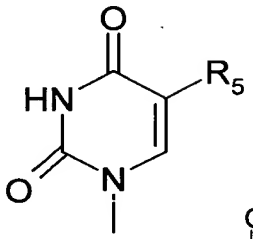
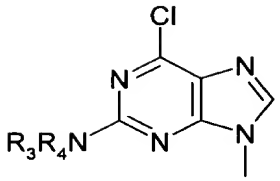
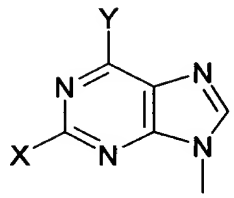
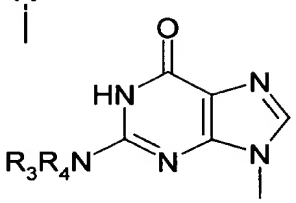
wherein:

R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-24} heteroaromatic ring; C_{3-24} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-18} arylmethyl, C_{2-18} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

R_2 is

R_3 and R_4 are in each case independently H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-24} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{0-20} alkyl-C_{6-24} aryl, C_{0-20} alkyl-C_{5-20} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

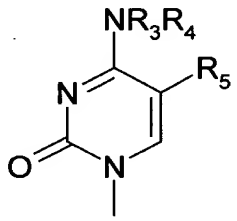
R_7 is, in each case, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{5-20} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms

selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or a pharmaceutically acceptable salt thereof.

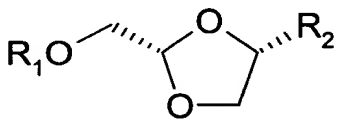
21. A method according to claim 20, wherein at least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$; $-C(O)OR_6$ or $-C(O)NHR_6$ then R_6 is other than H.

22. A method according to claim 20, wherein R_2 is of the formula:



23. A method of treating a patient with cancer resistant to troxacitabine, comprising administering to said patient a troxacitabine derivative having a greater lipophilicity than troxacitabine.

24. A method according to claim 23, wherein said derivative is a compound of the following formula:



(I)

wherein:

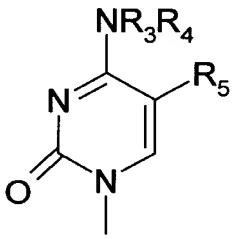
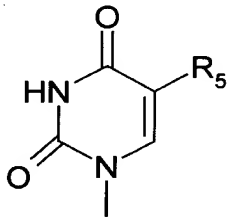
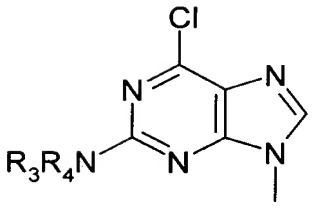
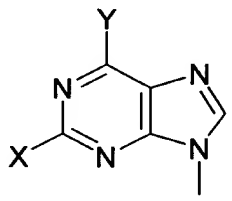
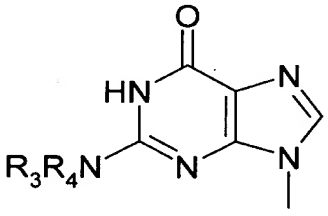
R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-24} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or

dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln and the amino acid chain contains at least one amino acid other than Gly, and which in each case is optionally terminated by $-R_7$;

R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-24} arylmethyl, C_{2-17} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

R_2 is

R_3 and R_4 are in each case independently H; C_{1-20} alkyl; C_{2-20} alkenyl; C_{6-10} aryl; C_{5-10} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms

selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln and the amino acid chain contains at least one amino acid other than Gly, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{0-20} alkyl-C_{6-10} aryl, C_{0-20} alkyl-C_{5-10} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

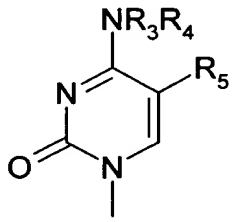
R_7 is, in each case, C_{1-20} alkyl, C_{2-20} alkenyl, C_{6-10} aryl, C_{5-10} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$, and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4;

with the proviso that least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$, $-C(O)OR_6$ or $-C(O)NHR_6$, then R_6 is other than H; or

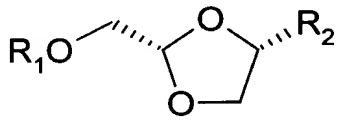
a pharmaceutically acceptable salt thereof.

25. A method according to claim 24, wherein R_2 is of the formula:



26. A method of treating a patient with cancer comprising:

determining that a compound does not enter cancer cells predominately by nucleoside or nucleobase transporter proteins; and administering said compound to said patient; wherein said compound is a compound according to the formula:



(I)

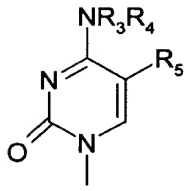
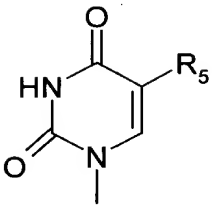
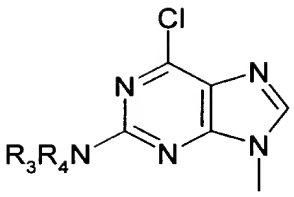
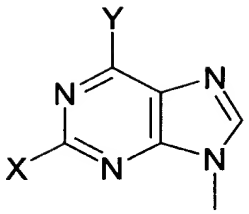
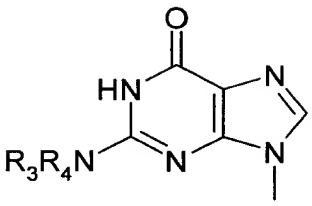
wherein:

R_1 is H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-20} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{7-24} arylmethyl, C_{2-17} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

R_2 is

R_3 and R_4 are in each case independently H; C_{1-24} alkyl; C_{2-24} alkenyl; C_{6-24} aryl; C_{5-24} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NHR_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-24} alkyl, C_{2-24} alkenyl, C_{0-20} alkyl-C_{6-24} aryl, C_{0-20} alkyl-C_{5-20} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

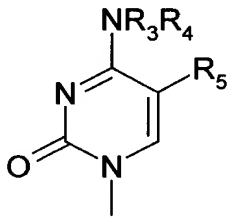
R_7 is, in each case, C_{1-24} alkyl, C_{2-24} alkenyl, C_{6-24} aryl, C_{5-20} heteroaromatic ring, C_{3-20} non-aromatic

ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or a pharmaceutically acceptable salt thereof.

27. A method according to claim 26, wherein at least one of R_1, R_3 and R_4 is other than H, and if R_3 and R_4 are both H and R_1 is $-C(O)R_6$, $-C(O)OR_6$ or $-C(O)NHR_6$ then R_6 is other than H.

28. A method according to claim 27, wherein R_2 is of the formula:



29. A method according to any one of claims 1-28, wherein said cancer is prostate cancer, colon cancer, lung cancer, melanoma, ovarian cancer, renal cancer, breast cancer, lymphoma, pancreatic cancer or bladder cancer.

30. A method according to any one of claims 3-28, wherein said cancer is leukemia.

31. A method according to any one of claims 1-28, wherein at least one of R_1, R_3, or R_4 is piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, adamantyl or quinuclidinyl.

32. A method according to any one of claims 1-28, wherein at least one of R_1, R_3 or R_4 is acetyl, propionyl, butyryl, valeryl, caprioic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, linoleic, or linolenic.

33. A method according to any one of claims 1-28, wherein at least one of R_1, R_3 or R_4 is cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, napthyl or biphenyl.

34. A method according to any one of claims 1-28, wherein at least one of R_1, R_3 or R_4 contains a heterocyclic group selected from the following group: furyl, thiophenyl, pyrrolyl, imidazolyl, pyrazoyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadrazolyl, thiadiazolyl, thiopyranyl, pyrazinyl, benzofuryl, benzothiophenyl, indolyl, benzimidazolyl, benzopyrazolyl, benzoxazolyl, benzisoxazolyl, benzothiozolyl, benzisothiazolyl, benzoxadiazolyl, quinolinyl, isoquinolinyl, carbazolyl, acridinyl, cinnolinyl and quinazolinyl.

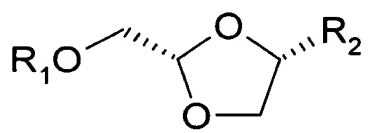
35. A method according to any one of claims 1-28, wherein said compound is administered at least daily for a period of 2 to 10 days every 2 to 5 weeks.

36. A method according to any one of claims 1-28, wherein said compound is administered at least daily for a period of 2 to 10 days every 3 to 4 weeks.

37. A method according to any one of claims 1-28, wherein said compound is administered at least daily for 3 to 7 days every 2 to 5 weeks.

38. A method according to any one of claims 1-28, wherein said compound is administered at least daily 4 to 6 days every 2 to 5 weeks.

39. A compound having the following formula:



(I)

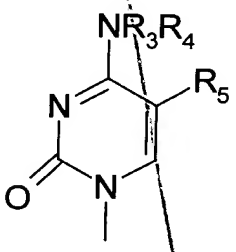
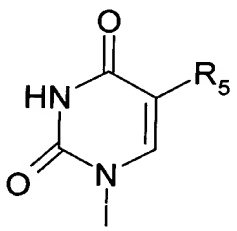
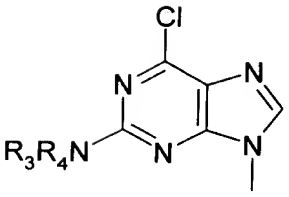
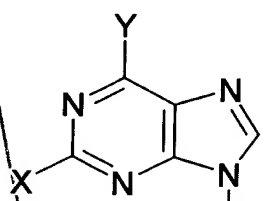
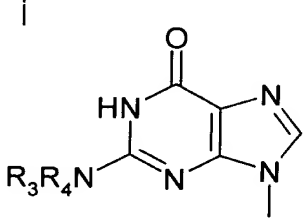
wherein:

R_1 is H; C_{1-20} alkyl; C_{2-20} alkenyl; C_{6-10} aryl; C_{5-10} heteroaromatic ring; C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NRH_6$; or an amino acid radical or dipeptide or tripeptide chain wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Met, Cys, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_1 can also be a $P(O)(OR')_2$ group wherein R' is in each case independently H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{6-10} aryl, C_{7-11} arylmethyl, C_{2-7} acyloxymethyl, C_{3-8} alkoxycarbonyloxymethyl, C_{3-8} S-acyl-2-thioethyl, saleginyl, t-butyl, phosphate or diphosphate;

R_1 can also be monophosphate, diphosphate, triphosphate or mimetics thereof;

R_2 is

R_3 and R_4 are in each case independently H; C_{1-20} alkyl; C_{2-20} alkenyl; C_{6-10} aryl; C_{5-10} heteroaromatic ring; C_{3-20} non-aromatic ring

optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S; $-C(O)R_6$; $-C(O)OR_6$; $-C(O)NRH_6$; or an amino acid radical or dipeptide or tripeptide chain or mimetic thereof wherein the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which in each case is optionally terminated by $-R_7$;

R_6 is, in each case, H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{0-20} alkyl-C_{6-10} aryl, C_{0-20} alkyl-C_{5-10} heteroaromatic ring, C_{3-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S;

R_7 is, in each case, C_{1-20} alkyl, C_{2-20} alkenyl, C_{6-10} aryl, C_{5-10} heteroaromatic ring, C_{3-20} nonaromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S, $-C(O)R_6$, $-C(O)OR_6$; and

X and Y are each independently Br, Cl, I, F, OH, OR_3 or NR_3R_4 and at least one of X and Y is NR_3R_4; or

a pharmaceutically acceptable salt thereof;

with the proviso that at least one of R_1, R_3 and R_4 is

C_{7-20} alkyl;

C_{7-20} alkenyl;

C_{6-10} aryl;

C_{5-10} heteroaromatic ring;

C_{4-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N, or S;

$C(O)R_6$ in which R_6 is , C_{7-20} alkyl, C_{7-20} alkenyl, C_{0-20} alkyl-C_{6-10} aryl, C_{0-20} alkyl-C_{5-10} heteroaromatic ring, C_{4-20} non-aromatic ring optionally containing 1-3 heteroatoms selected from the group comprising O, N or S ;

$-C(O)OR_6$ in which R_6 is C_{7-20} alkyl, C_{7-20} alkenyl, C_{0-20} alkyl-C_{6-10} aryl, C_{0-20} alkyl-C_{5-10} heteroaromatic ring, C_{4-20} non-aromatic ring optionally containing 1-3

heteroatoms selected from the group comprising O, N or S; or

a dipeptide or tripeptide or mimetic thereof where the amino acid radicals are selected from the group comprising Glu, Gly, Ala, Val, Leu, Ile, Pro, Phe, Tyr, Trp, Ser, Thr, Cys, Met, Asn and Gln, and which is optionally terminated by $-R_7$.

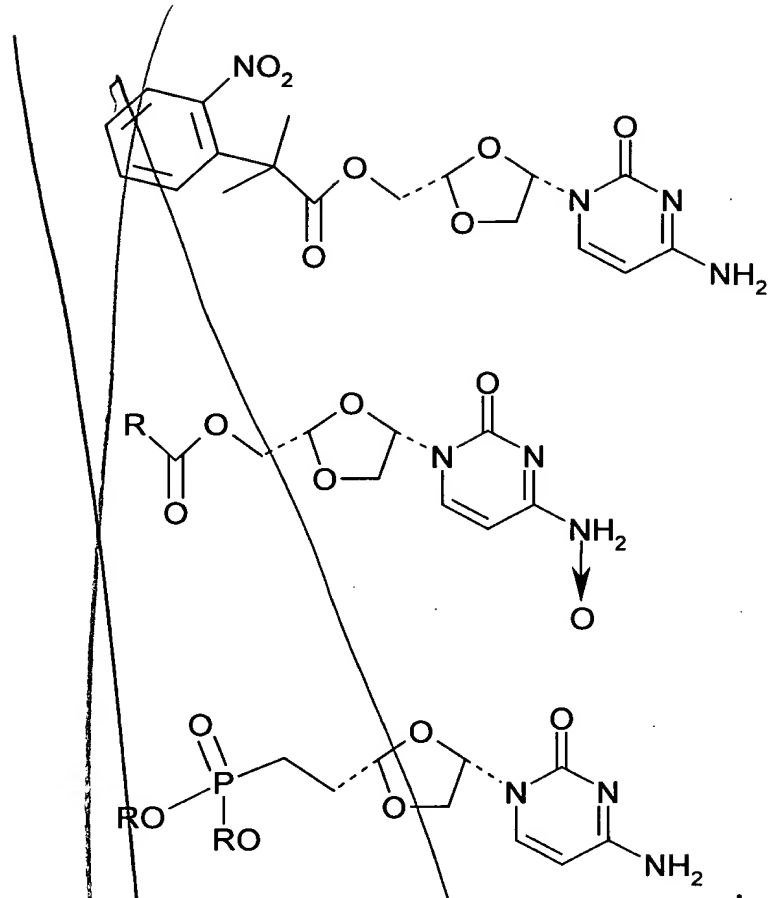
40. A method of treating a patient with cancer comprising administering to said patient a prodrug form of troxacitabine, having a lipophilic structure to enhance entry of the prodrug into the cancer cells by passive diffusion, wherein said lipophilic structure is cleavable by cellular enzymes, thereby increasing the amount of troxacitabine within the cancer cells to a level greater than that allowable by administration of troxacitabine in nonprodrug form.

41. A method of treating a patient having cancer which is resistant to gemcitabine, cytarabine or both, comprising administering to said patient a troxacitabine derivative having a lipophilic structure which enhances the entry of the derivative into the cancer cell by the passive diffusion.

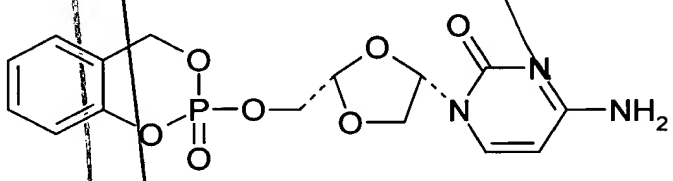
42. A method of treating a patient having cancer wherein the cancer cells are deficient in nucleoside or nucleobase transporter proteins, comprising administering to said patient a troxacitabine derivative having a lipophilic structure which enhances entry of the derivative into the cancer cells by passive diffusion.

43. A method according to claim 4, wherein said cancer cells are deficient in one or more nucleobase transporter proteins.

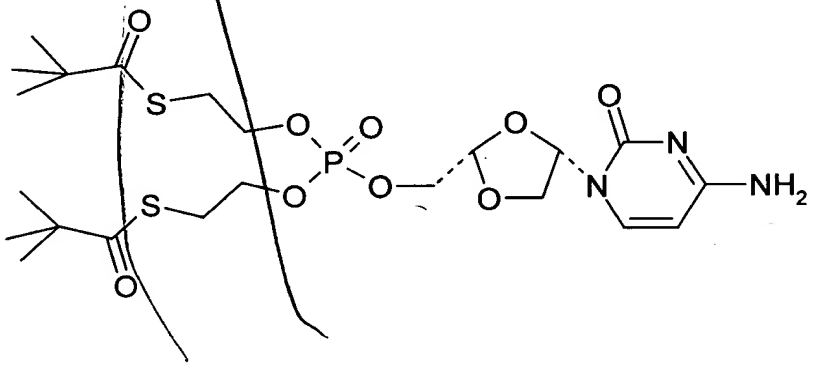
44. A method according to any one of claims 1-28, wherein the compound is of the formulas



45. A method according to any one of claims 1 to 28 wherein the compound is of the formula



46. A method according to any one of claims 1 to 28, wherein the compound is of the formula



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46. A method according to any one of claims 1 to 28, wherein the compound is selected from

4-HEXYL-BENZOIC ACID 4-(4-AMINO-2-OXO-2H-PYRIMIDIN-1-YL)-[1,3]DIOXOLAN-2-YLMETHYL ESTER (No. 191) ;

8-PHENYL-OCTANOIC ACID [1-(2-HYDROXYMETHYL-[1,3]DIOXOLAN-4-YL)-2-OXO-1,2-DIHYDRO-PYRIMIDIN-4-YL]-AMIDE (No. 197) ;

8-PHENYL-OCTANOIC ACID 4-(4-AMINO-2-OXO-2H-PYRIMIDIN-1-YL)-[1,3]DIOXOLAN-2-YLMETHYL ESTER (No. 198) ;

4-PENTYL-BICYCLO[2.2.2]OCTANE-1-CARBOXYLIC ACID 4-(4-AMINO-2-OXO-2H-PYRIMIDIN-1-YL)-[1,3]DIOXOLAN-2-YLMETHYL ESTER (No. 211) ;

4-PENTYL-CYCLOHEXANECARBOXYLIC ACID 4-(4-AMINO-2-OXO-2H-PYRIMIDIN-1-YL)-[1,3]DIOXOLAN-2-YLMETHYL ESTER (No. 240) or mixtures thereof.

Sub C2

Add B2